

What is claimed:

1. A compound represented by the Formula I:

$$R^2$$
 R^3
 R^4

wherein:

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R¹ is a moiety represented by the formula

where

Z is selected from the group consisting of CH and NH, and Q is a moiety such that R¹ is a substituted or unsubstituted monocyclic or bicyclic heteroaryl which has at least two carbon atoms in the heteroaryl ring system;

X is selected from the group consisting of CH₂, O, S, and NH;

Y is selected from the group consisting of CH₂, O, and S, provided that at least one of X and Y is CH₂, or X and Y together with the bond there-between form a cyclopropyl;

R² and R³ are independently selected from the group consisting of hydrogen, methyl, halogen, trifluoromethyl, and cyano; and

R⁴ is selected from the group consisting of

where R⁵ is selected from the group consisting of substituted and unsubstituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, O-R⁷, NR⁸R⁹, C₁-C₈ alkyl, and monocyclic heterocycloalkyl, R⁶ is selected from the group consisting of substituted and unsubstituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkenyl, O-R⁷, C(O)R⁷, NR⁸R⁹, C₂-C₈ alkyl, and monocyclic heterocycloalkyl, where R⁷ is selected from the group consisting of substituted and unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl, R⁸ is selected from the group consisting of hydrogen, and substituted and unsubstituted alkyl,



and R° is selected from the group consisting of substituted and unsubstituted alkyl, aryl, heteroaryl, cycloalkyl, and heterocýcloalkyl;

or a pharmaceutically acceptable prodrug, pharmaceutically active metabolite, or pharmaceutically acceptable salt thereof.

A compound according to claim 1, wherein R¹ is a substituted or unsubstituted heteroaryl group selected from the group consisting of:

X is selected from the group consisting of CH,, O, and S;

Y is selected from the group consisting of CH₂ and S, provided that at least one of X and Y is CH₂;

R² and R³ are independently selected from the group consisting of hydrogen, methyl, fluorine, and chlorine, and

R⁴ is selected from the group consisting of

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where R⁵ is selected from the group consisting of substituted and unsubstituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, O-R⁷, NR⁸R⁹, C₁-C₈ alkyl, and monocyclic

heterocycloalkyl, R⁶ is selected from the group consisting of substituted and unsubstituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkenyl, O-R⁷, C(O)R⁷, NR⁸R⁹, C₂-C₈ alkyl, and monocyclic heterocycloalkyl, where R⁷ is selected from the group consisting of substituted and unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl, R⁸ is selected from the group consisting of hydrogen and substituted and unsubstituted alkyl, and R⁹ is selected from the group consisting of substituted and unsubstituted alkyl, aryl, heteroaryl, cycloalkyl, and heterocycloalkyl;

or a pharmaceutically acceptable prodrug, pharmaceutically active metabolite, or pharmaceutically acceptable salt thereof.

3. A compound represented by the Formula II:

wherein:

X is selected from the group consisting of CH₂, O, and S;

Y is is selected from the group consisting of CH₂ and S, provided that at least one of X and Y is CH₃;

R² and R³ are independently selected from the group consisting of hydrogen, methyl, fluorine, and chlorine;

R⁴ is selected from the group consisting of

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where R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl and heteroaryl; and R¹⁰ is selected from the group consisting of substituted and unsubstituted alkenyl, aryl, heteroaryl, and HNR⁹, where R⁹ is selected from the group consisting of substituted and unsubstituted alkyl, aryl,

25 heteroaryl, cycloalkyl, and heterocycloalkyl;

or a pharmaceutically acceptable prodrug, pharmaceutically active metabolite, or pharmaceutically acceptable salt thereof.

- 4. A compound according to claim 3, wherein R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl; or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.
- 5. A compound according to claim 3, wherein R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted heteroaryl; or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.
- 6. A compound represented by the Formula III:

$$\begin{array}{c|c}
 & R^2 \\
 & R^3 \\
 & R^4 \\
 & N & III \\
\end{array}$$

wherein:

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X is selected from the group consisting of CH₂, O, S, and NH;

Y is selected from the group consisting of CH₂, O, and S, provided that at least one of X and Y is CH₂, or X and Y together with the bond there-between form a cyclopropyl;

R² and R³ are independently selected from the group consisting of hydrogen, methyl, halogen, trifluoromethyl, and cyano; and

R⁴ is selected from the group consisting of

where R⁵ is selected from the group consisting of substituted and unsubstituted
25 aryl, heteroaryl, cycloalkyl, heterocycloalkyl, O-R⁷, NR⁸R⁹, C₁-C₈ alkyl, and monocyclic
heterocycloalkyl, R⁶ is selected from the group consisting of substituted and unsubstituted

aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkenyl, O-R⁷, C(O)R⁷, NR⁸R⁹, C₂-C₈ alkyl, and monocyclic heterocycloalkyl, where R⁷ is selected from the group consisting of substituted and unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl, R⁸ is selected from the group consisting of hydrogen and substituted and unsubstituted alkyl, and R⁹ is selected from the group consisting of substituted and unsubstituted alkyl, aryl, heteroaryl, cycloalkyl, and heterocycloalkyl;

or a pharmaceutically acceptable prodrug, pharmaceutically active metabolite, or pharmaceutically acceptable salt thereof.

7. A compound according to claim 6, wherein:

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X is selected from the group consisting of CH₂, O, and S;

Y is selected from the group consisting of CH₂ and S, provided that at least one of X and Y is CH₂;

R² and R³ are independently selected from the group consisting of hydrogen, methyl, fluorine, and chlorine; and

R⁴ is selected from the group consisting of

where R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl and heteroaryl;

or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.

- 8. A compound according to claim 7, wherein R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl; or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.
- 9. A compound according to claim 7, wherein R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted heteroaryl; or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug,

or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.

10. A compound according to claim 7, wherein:

X is CH,;

Y is S;

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R² and R³ are independently selected from the group consisting of hydrogen, methyl, fluorine, and chlorine; and

R⁴ is selected from the group consisting of

$$\mathbb{R}^5$$
 and \mathbb{R}^6

where R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl and heteroaryl;

or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.

- 11. A compound according to claim 10, wherein R⁵ and R⁶ are each independently selected from the group consisting of substituted and unsubstituted aryl; or a pharmaceutically acceptable salt, a pharmaceutically acceptable prodrug, or a pharmaceutically active metabolite thereof, or a pharmaceutically acceptable salt of said metabolite.
- 20 12. A compound selected from the group consisting of N-(3,4,5-Trimethoxyphenyl)-3-[(pyrazin-2-yl)sulfanylmethyl]benzamide; N-(3,4,5-Trimethoxyphenyl)-3-[(5-amino-2H-[1,2,4]triazol-3-yl)sulfanylmethyl] benzamide:

N-(4-Isopropyl-3-methylphenyl)-3-[(pyrazin-2-yl)sulfanylmethyl] benzamide;

N-(4-Isopropyl-3-methylphenyl)-3-[(5-amino-2H-[1,2,4]triazol-3-yl)sulfanylmethyl]benzamide;

N-(4-Isopropyl-3-methylphenyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]benzamide;

N-(2-Methylquinolin-6-yl)-3-[(pyrazin-2-yl)sulfanylmethyl]benzamide;

N-(3-Isopropylphenyl)-3-[(pyrazin-2-yl)sulfanylmethyl]benzamide;

- N-(3,5-Dibromo-4-methylphenyl)-3-[(pyrazin-2-yl)sulfanylmethyl]benzamide;
- N-(3,4,5-Trimethoxyphenyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl] benzamide;
 - N-(3,4,5-Trimethoxyphenyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-
- 5 yl)sulfanylmethyl] benzamide;
 - N-(Quinolin-6-yl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]benzamide;
 - N-(5-Methylisoxazol-3-yl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl] benzamide;
- N-(Pyridin-4-yl)methyl-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl] benzamide;
 - N-(1,3-Benzodioxyl-5-ylmethyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)-sulfanylmethyl] benzamide;
 - N-(2-Methoxybenzyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)-
- 15 sulfanylmethyl]benzamide;
 - N-(2-Phenylethyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)sulfanyl-methyl] benzamide;
 - N-(2-Methoxyphenyl)-3-[(1H-pyrazolo[3,4-d]pyrimidin-4-yl)-sulfanylmethyl]benzamide;
- N-[3-(N-Methyl-N-phenylamino)propyl]-3-[(5-methyl-1H-1,2,4-triazol-3-yl) sulfanylmethyl]benzamide;
 - N-(1,3-Benzodioxyl-5-ylmethyl)-3-[(5-methyl-1H-1,2,4-triazol-3-yl)sulfanylmethyl] benzamide;
- N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl-sulfanyl) methyl]benzamide;
 - N-(3,3-Diphenylpropyl)-3-{[(5-methyl-1H-1,2,4-triazol-3-yl)-sulfanyl]methyl}benzamide;
 - 3-{[(5-Methyl-1H-1,2,4-triazol-3-yl)-sulfonyl]methyl}-N-phenethylbenzamide;
 - 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-isopropylphenyl)-
- 30 benzamide;
 - 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-trifluoromethyl-5-methoxyphenyl)-benzamide;

- 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3,5-bis-trifluoromethylphenyl)-benzamide;
- 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-t-butylphenyl)benzamide;
- 5 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(4-isopropylphenyl)-benzamide;
 - 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(4-trifluoromethoxyphenyl)-benzamide;
- 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3,5-dimethylphenyl)10 benzamide:
- 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-(2-hydroxyethyl)phenyl)-benzamide;
 - 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(4-dimethylaminophenyl)-benzamide;
- 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-trifluoromethylsulfonyl phenyl)-benzamide;
 - 3-[(1H-Pyrazolo[3,4-d]pyrimidin-4-yl)sulfanylmethyl]-N(3-dimethylaminophenyl)-benzamide;
- 3-[(5-Cyanoamino-2H-[1,2,4]triazol-3-yl)sulfanylmethyl]-N-(3,4,5-20 trimethoxyphenyl) benzamide;
 - 3-[(5-(Methoxycarbonylamino)-2H-[1,2,4]triazol-3-yl)sulfanylmethyl]-N-(3,4,5-trimethoxyphenyl)benzamide;
 - N-(3,4,5-Trimethoxyphenyl)-3-[(5-acetylamino-2H-[1,2,4]triazol-3-yl)sulfanylmethyl] benzamide;
- N-(4-Isopropyl-3-methylphenyl)-3-[(pyrazin-2-yl)methylsulfanyl]benzamide;
 - N-(2-Methylquinolin-6-yl)-3-[(pyrazin-2-yl)methylsulfanyl] benzamide;
 - N-(2-Methyl-quinolin-6-yl)-3-(pyridin-3-ylmethylsulfanyl)-benzamide dihydrochloride;
 - N-(2-methyl-quinolin-6-yl)-3-[{5-(phenylamino)-2-H-pyrazol-3-
- N-(3,4,5-trimethoxyphenyl)-3-{2-(5-phenylamino-2H-pyrazol-3-yl)ethyl]benzamide;

yl}methylsulfanyl] benzamide;

- 3-[{5-((E)-2-(4-Hydroxy-3-methoxyphenyl)ethenyl)-2H-pyrazol-3-yl}-methylsulfanyl]-N-(2-methylquinolin-6-yl)benzamide;
- 3-[5-(2-(3,4-Dimethoxyphenyl)ethenyl)-2H-pyrazol-3-yl)methylsulfanyl]-N-(2-methylquinolin-6-yl)benzamide;
- 5 3-(2-{5-[(E)-2-(3,4-Dimethoxyphenyl)ethenyl]-2H-pyrazol-3-yl}-ethyl)-N-(3-methyl-4-isopropylphenyl)-benzamide;
 - 4-Fluoro-3-[{5-((E)-1-propenyl)-2H-pyrazol-3-yl}methoxy]-N-[4-(pyrrolidin-1-yl)-3-trifluoromethylphenyl]benzamide;
- 3-(2-{5-[(E)-2-(3,4-Dimethoxyphenyl)ethenyl]-2H-pyrazol-3-yl}-ethyl)-N-(3-methyl-4-isopropylphenyl)-benzamide;
 - $N-(4-Isopropyl-3-methyl-phenyl)-3-\{2-[5-(4-(methylsulfamoyl)-phenylamino)-2H-pyrazol-3-yl]-ethyl\}-benzamide;$
 - N-(2-Methylquinolin-6-yl)-3-[2-(5-phenylamino-2H-pyrazol-3-yl)ethyl]benzamide;
- N-(4-isopropyl-3-methylphenyl)-3-[2-(5-phenylamino-2H-pyrazol-3-yl)ethyl]benzamide;
 - N-(4-Isopropyl-3-methyl-phenyl)-3-{2-[5-(6-methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]-ethyl}-benzamide;
- N-(4-Dimethylamino-3-trifluoromethylphenyl)-3-{2-[5-(6-methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]ethyl}-benzamide;
 - N-(6-Dimethylamino-5-trifluoromethylpyridin-3-yl)-3-{2-[5-(6-methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]ethyl}-benzamide;
 - $N-(3,5-Dichloro-4-dimethylaminophenyl)-3-\{2-[5-(6-methoxy-pyridin-3-yl)amino-2H-pyrazol-3-yl]ethyl\} benzamide;$
- 3-{2-[5-(6-Methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]-ethyl}-N-(4-pyrrolidin-1-yl-3-trifluoromethylphenyl)benzamide;
 - 3-{2-[5-(6-Methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]-ethyl}-N-[4-(4-*t*-butoxycarbonylpiperazin-1-yl)-3-trifluoromethylphenyl]benzamide;
- 3-{2-[5-(6-Methoxypyridin-3-yl)amino)-2H-pyrazol-3-yl]ethyl}-N-(4-piperazin-1-30 yl-3-trifluoromethylphenyl)benzamide;
 - 4-Fluoro-3-[{5-(pyridin-3-yl)amino-2H-pyrazol-3-yl}methoxy]-N-[((4-pyrrolidin-1-yl)-3-trifluoromethylphenyl)benzamide;

N-(4-Isopropyl-3-methyl-phenyl)-3-[2-(5-phenylamino-2-H-pyrazol-3-yl)cyclopropyl]-benzamide; 3-[({3-[(E)-2-(4-hydroxy-3-methoxyphenyl)ethenyl]-1H-pyrazol-5yl}methyl)amino]-N-(3-methyl-4-isopropylphenyl)benzamide; 3-[({5-[(E)-2-(4-hydroxy-3-methoxyphenyl)ethenyl]-1H-pyrazol-3yl}methyl)amino]-N-phenyl)benzamide; 4-Fluoro-N-[4-(imidazol-1-yl)-3-trifluoromethylphenyl]-3-[5-(6-methoxypyridin-3-yl)amino-2H-pyrazol-3-ylmethoxy]-benzamide; 4-Fluoro-3-[5-(6-methoxy-pyridin-3-yl)amino-2H-pyrazol-3-yl]methoxy-N-(4pyrrolidin-1-yl-3-trifluoromethyl-phenyl)-benzamide; 4-Fluoro-3-[5-(6-methoxypyridin-3-yl)amino-2H-pyrazol-3-yl]methoxy-N-(3methoxy-5-trifluoromethyl-phenyl)-benzamide; N-(4-Isopropyl-3-methyl-phenyl)-3-(Isoquinolin-4-yl)methoxy-benzamide; 3-(Isoquinolin-4-yl)methoxy-N-(3,4,5-trimethoxyphenyl)benzamide hydrochloride; 3-(Isoquinolin-4-yl)methoxy-N-(2-methyl-quinolin-6-yl)-benzamide hydrochloride; 3-(Isoquinolin-4-yl)methoxy-N-(2-methyl-4-methylsulfanyl-quinolin-6-yl)benzamide hydrochloride; 3-(Pyridin-3-yl)methoxy-N-(3,4,5-trimethoxyphenyl)benzamide; N-(Naphthalen-2-yl)-3-(pyridin-3-yl)methoxybenzamide; N-(1-Allyl-1H-indol-5-yl)-3-(pyridin-3-yl)methoxy-benzamide; 3-(Pyridin-3-yl)methoxy-N-quinolin-6-yl-benzamide; N-(2-Methyl-quinolin-6-yl)-3-(pyridin-3-yl)methoxy-benzamide; N-(4-Isopropyl-3-methyl-phenyl)-4-fluoro-3-(Isoquinolin-4-yl)methoxy-benzamide; N-(4-Isopropyl-3-methyl-phenyl)-4-methyl-3-(Isoquinolin-4-yl)methoxy-benzamide; N-(4-Isopropyl-3-methyl-phenyl)-4-chloro-3-(Isoquinolin-4-yl)methoxy-benzamide; 3-(6-Aminopyridin-3-yl)methoxy-N-(4-Isopropyl-3-methyl-phenyl)benzamide;

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3-(6-Acetylaminopyridin-3-yl)methoxy-N-(4-isopropyl-3-methyl-phenyl)-benzamide;

3-(6-Acetylaminopyridin-3-yl)methoxy-N-(2-methyl-quinolin-6-yl)-benzamide;

3-(6-Aminopyridin-3-yl)methoxy-N-(2-methyl-quinolin-6-yl)-benzamide;

- 4-Fluoro-N-(1,2,3,4-tetrahydroquinolin-6-yl)-3-(isoquinolin-4-yl-methoxy)-benzamide bistrifluoroacetic acid salt;
 - N-(2,2-difluorobenzo[1,3]dioxol-4-yl-ethyl)-benzamide trifluoroacetic acid salt;
- 4-Fluoro-N-(2-methyl-1,2,3,4-tetrahydroquinolin-6-yl)-3-(isoquinolin-4-yl-methoxy)-
- 5 benzamide bistrifluoroacetic acid salt;
 - N'-{4-[3-(4-Isopropyl-3-methyl-phenylcarbamoyl)-phenoxy;
 - N-(4-Isopropyl-3-methyl-phenyl)-3-{1-[N'-(3-methoxy-benzylidene)-hydrazino]-isoquinolin-4-ylmethoxy}-benzamide;
 - N-(3,5-Diallyl-4-methyl-phenyl)-3-(isoquinolin-4-ylmethoxy)-benzamide;
- N-(3,5-Dibromo-4-methyl-phenyl)-3-(isoquinolin-4-ylmethoxy)-benzamide;
 - 3-(Isoquinolin-4-ylmethoxy)-N-(5,5,8,8-tetramethyl-5,6,7,8-tetrahydro-naphthalen-2-yl)-benzamide;
 - 3-(Isoquinolin-4-ylmethoxy)-N-(3-trifluoromethoxy-phenyl)-benzamide;
 - N-(2,4-Dimethylquinolin-6-yl)-3-(isoquinolin-4-ylmethoxy)-benzamide;
- 3-(Isoquinolin-4-ylmethoxy)-benzoic acid N'-(4-trifluoromethyl-phenyl)-hydrazide;
 - N-Benzyloxy-3-(isoquinolin-4-ylmethoxy)-benzamide;
 - 3-(Isoquinolin-4-ylmethoxy)-benzoic acid N'-phenyl-hydrazide;
 - N-(5,7-dimethyl[1,8]naphthydrin-2-yl)-3-(isoquinolin-4-ylmethoxy)-benzamide;
 - 3-(Isoquinolin-4-ylmethoxy)-N-(1,1,3,3-tetramethyl-1,3-dihydroisobenzofuran-5-yl)-
- 20 benzamide;
 - N-(3,5-Dichloro-4-pyrrolidin-1-yl-phenyl)-4-fluoro-3-(pyridin-3-ylmethoxy)-benzamide;
 - 4-Fluoro-N-(4-morpholin-4-yl-3-trifluoromethyl-phenyl)-3-(pyridin-3-ylmethoxy)-benzamide;
- 4-Fluoro-N-[4-(piperazin-1-yl)-3-trifluoromethylphenyl]-3-(pyridin-3-yl)methoxybenzamide;
 - 4-Fluoro-N-(4-morpholin-4-yl-3-trifluoromethyl-phenyl)-3-(isoquinolin-4-ylmethoxy)-benzamide;
- 4-Fluoro-N-(4-piperazin-1-yl-3-trifluoromethyl-phenyl)-3-(isoquinolin-4-ylmethoxy)-
- 30 benzamide;
 - 4-Fluoro-*N*-(4-morpholin-4-yl-3-trifluoromethyl-phenyl)-3-(quinolin-3-ylmethoxy)-benzamide;

4-Fluoro-N-(4-piperazin-1-yl-3-trifluoromethyl-phenyl)-3-(quinolin-3-ylmethoxy)benzamide; N-(3,5-Dichloro-4-morpholin-4-yl-phenyl)-4-fluoro-3-(pyridin-3-ylmethoxy)benzamide; N-(3,5-Dichloro-4-piperazin-1-yl-phenyl)-4-fluoro-3-(pyridin-3-ylmethoxy)benzamide; 4-Fluoro-N-[4-(piperazin-1-yl)-3-trifluoromethylphenyl]-3-(pyridin-3yl)methoxybenzamide; 4-Fluoro-N-(4-(imidazol-1-yl-3-trifluoromethylphenyl]-3-(pyridin-3yl)methoxybenzamide; 4-Fluoro-N-(4-pyrazol-1-yl-3-trifluoromethyl-phenyl)-3-(pyridin-3-ylmethoxy)benzamide; 4-Fluoro-3-(pyridin-3-ylmethoxy)-N-(4-[1,2,4]triazol-1-yl-3-trifluoromethyl-phenyl)benzamide; N-(3,5-Dichloro-4-imidazol-1-yl-phenyl)-4-fluoro-3-(pyridin-3-ylmethoxy)benzamide; 3-(5-Bromo-pyridin-3-ylmethoxy)-4-fluoro-N-(4-piperazin-1-yl-3-trifluoromethylphenyl)-benzamide; 3-(2-Isoquinolin-4-yl-ethyl)-N-phenyl-benzamide; 3-(2-Isoquinolin-4-yl-ethyl)-N-(3,3,5-trimethyl-cyclohexyl)-benzamide; N-(4-Isopropyl-3-methyl-phenyl)-3-(2-isoquinolin-4-yl-ethyl)-benzamide; 3-(2-Isoquinolin-4-yl-ethyl)-N-(2-methyl-quinolin-6-yl)-benzamide; N-(3,5-Dibromo-4-methyl-phenyl)-3-(2-isoquinolin-4-yl-ethyl)-benzamide; N-(4,6-Dimethyl-pyridin-2-yl)-3-(2-isoquinolin-4-yl-ethyl)-benzamide; 2-Chloro-4-fluoro-N-(4-isopropyl-3-methyl-phenyl)-5-(2-isoquinolin-4-yl-ethyl)benzamide; 2,4-Difluoro-N-(4-isopropyl-3-methyl-phenyl)-5-(2-isoquinolin-4-yl-ethyl)-

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benzamide;

2-Fluoro-N-(4-isopropyl-3-methyl-phenyl)-5-(2-isoquinolin-4-yl-ethyl)-benzamide;
N-(2-Methyl-quinolin-6-yl)-3-(2-pyridin-3-yl-ethyl)-benzamide hydrochloride;
N-(4-Isopropyl-3-methyl-phenyl)-3-(2-pyridin-3-yl-ethyl)-benzamide;

- N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-ylsulfanylmethyl]phenyl}-(3-bromo-4-methyl) benzamide;
- N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-ylsulfanylmethyl]phenyl}-3,5-bis(trifluoromethyl) benzamide;
- N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-(4-hydroxy-3-methoxy) benzamide;
 - N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-(4-hydroxy-3-t-butyl) benzamide;
 - N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-4-t-
- butylbenzamide; $N-\{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl\}-(4-yl)sulfanylmethyl]phenyl$
 - $\label{eq:normalize} N-\{3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylsulfanyl)methyl]phenyl\}-N'-[3,5-bis-phenoxy]$
- N-{3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylsulfanyl)methyl]phenyl}-N'-(pyridin-3-yl)urea;
 - N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-(3,5-di-t-butyl) benzamide:
 - 3-Bromo-4-hydroxy-N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-benzamide;

(trifluoromethyl)phenyl]urea;

- N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-quinoline-6-carboxamide;
- 5-Fluoro-N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-indole-2-carboxamide;
- N-{3-[(1H-pyrazolo[3,4-d]-pyrimidin-4-yl)sulfanylmethyl]phenyl}-indole-6-carboxamide;
 - (R/S)-2-(2-methylphenyl)-N-{3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylsulfanyl)methyl)-methyl} phenyl}butanamide;
- 3-t-Butyl-4-hydroxy-N-{3-[5-(6-methoxy-pyridin-3-ylamino)-2 H-pyrazol-3-ylmethyl sulfanyl]-phenyl}-benzamide;
 - 3-t-Butyl-4-hydroxy-N-[3-(pyridin-3-ylmethylsulfanyl)-phenyl}-benzamide;
 - 3-t-Butyl-4-hydroxy-N-[3-(isoquinolin-4-ylmethylsulfanyl)-phenyl}-benzamide;

- N-[3-(5-Bromo-pyridin-3-ylmethoxy)-phenyl]-3-t-butyl-4-hydroxy-benzamide;
- 4-Acetoxy-3-t-butyl-N-[3-(pyridin-3-ylmethoxy)phenyl]-benzamide;
- 4-Acetoxy-3-t-butyl-N-[3-(isoquinolin-4-ylmethoxy)phenyl]-benzamide;
- 3-t-Butyl-4-hydroxy-N-[3-(pyridin-3-ylmethoxy)-phenyl]-benzamide;
- 3-t-Butyl-4-hydroxy-N-[3-(isoquinolin-4-ylmethoxy)-phenyl]-benzamide;
 - 1-[3-(pyridin-3-ylmethoxy)phenylcarbamoyl]pyrrolidine;

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- 4-[3-(pyridin-3-ylmethoxy)phenylcarbamoyl]morpholine;
- 3-[{6-Methoxy-7-(2-methoxyethoxy)cinnolin-4-yl}sulfanylmethyl]-*N*-phenylbenzamide:
- 3-[2-(6-Acetylamino-pyridin-3-yl)-ethyl]-N-(4-piperazin-1-yl-3-trifluoro-methylphenyl)-benzamide dihydrochloride;
 - 3-[2-(6-Amino-pyridin-3-yl)-ethyl]-N-(4-piperazin-1-yl-3-trifluoromethyl-phenyl)-benzamide dihydrochloride;
- 3-[2-(3H-Imidazo[4,5-b]pyridin-6-yl)-ethyl]-N-(4-piperazin-1-yl-3-trifluoromethylphenyl)-benzamide dihydrochloride;
 - 5-{2-[3-(Piperazin-1-yl-trifluoromethyl-phenylcarbamoyl)-phenyl]-ethyl}-nicotinamide dihydrochloride;
 - 5-{2-[3-(Piperazin-1-yl-trifluoromethyl-phenylcarbamoyl)-phenyl]-ethyl}-nicotinic acid methyl ester dihydrochloride;
 - 4-Fluoro-3-[2-(3H-imidazo[4,5-b]pyridin-6-yl)-ethyl]-N-(4-piperazin-1-yl-3-trifluoromethyl-phenyl)-benzamide dihydrochloride; and
 - 4-Fluoro-3-(5-furan-2-yl-pyridin-3-ylmethoxy)-N-(4-piperazin-1-yl-3-trifluoromethyl-phenyl)-benzamide dihydrochloride;
- or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or pharmaceutically active metabolite thereof or a pharmaceutically acceptable salt of said metabolite.
 - 13. A compound selected from the group consisting of the compounds corresponding to Example B-27 (Compounds 1-244), Example V-6d (Compounds 1-176), Example V-7b (Compounds 1-43) and Example V-14 (Compounds 1-88), or a pharmaceutically acceptable salt, pharmaceutically acceptable prodrug, or pharmaceutically active metabolite thereof or a pharmaceutically acceptable salt of said metabolite.



- 14. A pharmaceutically acceptable salt of a pharmaceutically active metabolite of a compound according to claim 1.
- 15. A pharmaceutical composition for modulating or inhibiting the activity of a protein kinase receptor comprising:
 - (a) a therapeutically effective amount of an agent selected from the group consisting of a compound according to claim 1, a pharmaceutically acceptable prodrug thereof, a pharmaceutically active metabolite thereof, and a pharmaceutically acceptable salt thereof; and
 - (b) a pharmaceutically acceptable carrier, diluent, or vehicle therefor.
- 16. A pharmaceutical composition for modulating or inhibiting the activity of a protein kinase receptor comprising:
 - (a) a therapeutically effective amount of a pharmaceutically acceptable salt of a pharmaceutically active metabolite of a compound according to claim 1;
 - (b) a pharmaceutically acceptable carrier, diluent, or vehicle therefor.
- 17. A method of treating a mammalian disease condition mediated by protein kinase activity, comprising administering to a mammal in need thereof a therapeutically effective amount of an agent selected from the group consisting of a compound according to claim 1, a pharmaceutically acceptable prodrug thereof, a pharmaceutically active metabolite thereof, and a pharmaceutically acceptable salt thereof.
 - 18. A method according to claim 17, wherein the mammalian disease condition is associated with tumor growth, cell proliferation, or angiogenesis.
 - 19. A method of modulating or inhibiting the activity of a protein kinase receptor, comprising contacting the kinase receptor with an effective amount of an agent selected from the group consisting of a compound according to claim 1, a pharmaceutically acceptable prodrug thereof, a pharmaceutically active metabolite thereof, and a pharmaceutically acceptable salt thereof.
 - 20. A method according to claim 19, wherein the protein kinase receptor is a VEGF receptor.

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